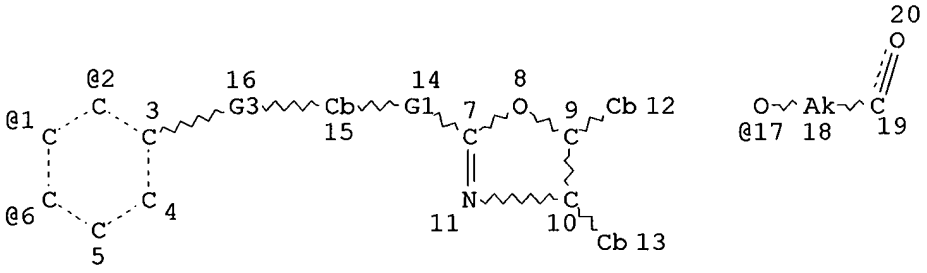
Kim 09/926,813

=> d his

```
     (FILE 'REGISTRY' ENTERED AT 14:24:01 ON 07 APR 2003)
                DEL HIS Y
                ACT KIM/A
               ---------
L1              STR
L2          129 SEA FILE=REGISTRY SSS FUL L1
               ---------
L3          129 S L2 AND (CAPLUS OR CA)/LC
L4          122 S L2 AND USPATFULL/LC
L5            0 S L4 NOT L3

     FILE 'HCAPLUS' ENTERED AT 14:24:37 ON 07 APR 2003
L6           14 S L2

     FILE 'HCAPLUS' ENTERED AT 14:24:44 ON 07 APR 2003

     FILE 'HCAOLD' ENTERED AT 14:24:47 ON 07 APR 2003
L7            0 S L2
```

```
=> fil reg
FILE 'REGISTRY' ENTERED AT 14:25:11 ON 07 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    6 APR 2003  HIGHEST RN 501901-52-6
DICTIONARY FILE UPDATES:   6 APR 2003  HIGHEST RN 501901-52-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d que stat l2
L1              STR
```




```
REP G1=(0-1) C
REP G3=(0-6) C
VPA 17-2/1/6 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

Claim 3

```
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  20

STEREO ATTRIBUTES: NONE
L2          129 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED  73957 ITERATIONS                    129 ANSWERS
SEARCH TIME: 00.00.02


=> d his l3-l5
```

```
     (FILE 'REGISTRY' ENTERED AT 14:24:01 ON 07 APR 2003)
                ---------
L3           129 S L2 AND (CAPLUS OR CA)/LC
L4           122 S L2 AND USPATFULL/LC
L5             0 S L4 NOT L3

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 14:25:23 ON 07 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
the American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 7 Apr 2003  VOL 138 ISS 15
FILE LAST UPDATED: 6 Apr 2003  (20030406/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que nos l6
L1               STR
L2           129 SEA FILE=REGISTRY SSS FUL L1
L6            14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L2


=> d .ca hitstr l6 1-11;d .ca l6 12;d .ca hitstr l6 13-14

L6   ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:         2002:832653  HCAPLUS
DOCUMENT NUMBER:          137:333174
TITLE:                    Tissue fibrosis inhibitors
INVENTOR(S):              Maeda, Noriaki; Nagakura, Yasunori; Ota, Mariko;
                          Hirayama, Yoshitaka; Sasakawa, Tatsuya; Oe, Tomoya
PATENT ASSIGNEE(S):       Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 40 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ----------------  ----  --------       ---------------  --------
     WO 2002085412      A1   20021031       WO 2002-JP3714   20020415
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
```

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-119601 A 20010418
OTHER SOURCE(S): MARPAT 137:333174

AB Remedies or preventives for various diseases in assocn. with tissue fibrosis are provided by providing drugs contg. a prostaglandin I2 agonist as the active ingredient.

IC ICM A61K045-00
ICS A61K031-27; A61K031-421; A61K031-422; A61P001-16; A61P043-00; A61P011-00; C07D263-32; C07D413-04

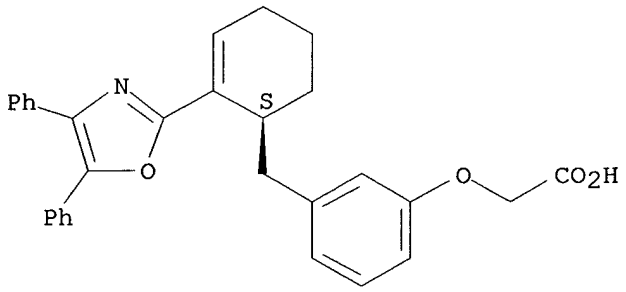
CC 1-12 (Pharmacology)
Section cross-reference(s): 2

IT **200433-03-0 314289-59-3** 314289-62-8 314289-63-9
314289-64-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prostaglandin I2 agonist as tissue fibrosis inhibitor)

IT **200433-03-0 314289-59-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prostaglandin I2 agonist as tissue fibrosis inhibitor)

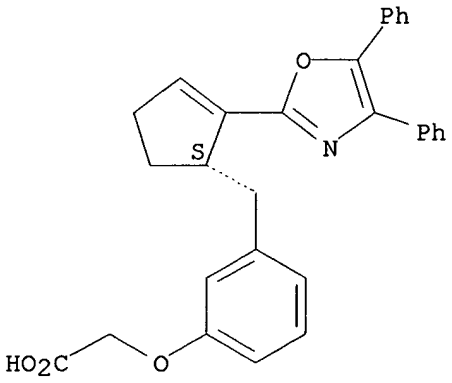
RN 200433-03-0 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 314289-59-3 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclopenten-1-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

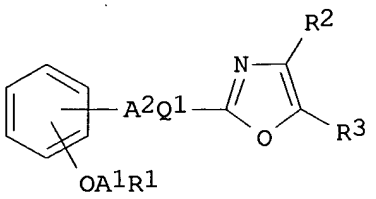


REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:911110 HCAPLUS
DOCUMENT NUMBER: 134:66171
TITLE: Nonprostanoid-prostaglandin I agonists as remedies for skin ulcer
INVENTOR(S): Higaki, Masahide; Imamura, Emiko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078350	A1	20001228	WO 2000-JP3935	20000616
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1188447	A1	20020320	EP 2000-937272	20000616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1999-173763 A 19990621
WO 2000-JP3935 W 20000616
OTHER SOURCE(S): MARPAT 134:66171
GI



I

AB Preventives and/or remedies for skin ulcer or pressure necrosis which contain as the active ingredient a nonprostanoid-prostaglandin I2 agonist (I; R1 = (protected)carboxyl; R2, R3 = (substituted) aryl; A1 = low alkylene; A2 single bound or low alkylene; Q1 = (substituted)cyclo low alkane or alkene, etc.), including [3-[[(1S)-2-(4,5-diphenyloxazol-2-yl)-2-cyclohexen-1-yl]methyl]phenoxy]acetate, [3-[[(1S)-2-(4,5-diphenyloxazol-2-yl)-2-cyclopenten-1-yl]methyl]phenoxy]acetate, N,N-diphenylcarbamate[[(2R)-5-(carboxymethoxy)-2-hydroxy-1,2,3,4-tetrahydronaphtho-2-yl]methyl]ester, (1R)-1-[(2R)-2-(4,5-diphenyloxazol-2-yl)pyrrolidin-1-yl]-5-carboxymethoxy-1,2,3,4-tetrahydronaphthalene, and [3-[[(2R)-2-(4,5-diphenyloxazol-2-yl)-2-pyrrolidin-1-yl]methyl]phenoxy]acetate, and their pharmaceutical acceptable salts.

IC ICM A61K045-00
ICS A61K031-421; A61K031-422; A61K031-27; A61K031-428; A61P017-02

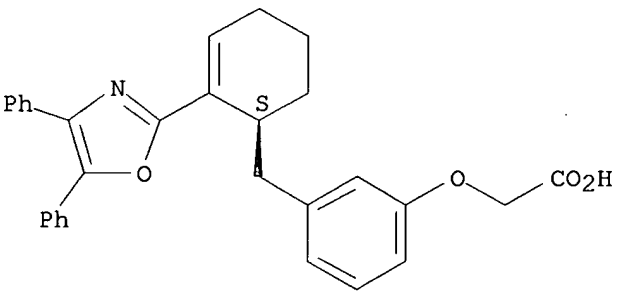
CC 1-12 (Pharmacology)
Section cross-reference(s): 2, 28

IT **200433-03-0P 314289-59-3P** 314289-62-8P 314289-63-9P 314289-64-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nonprostanoid-prostaglandin I agonists as remedies for skin ulcer)

IT **200433-03-0P 314289-59-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nonprostanoid-prostaglandin I agonists as remedies for skin ulcer)

RN 200433-03-0 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

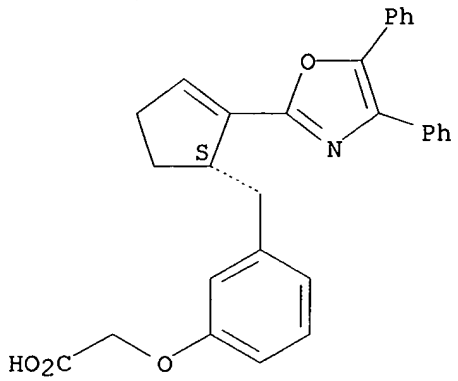
Absolute stereochemistry.



RN 314289-59-3 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclopenten-1-

yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

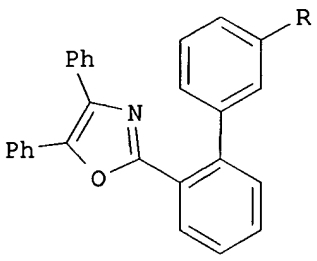
L6 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:725467 HCAPLUS
DOCUMENT NUMBER: 133:296436
TITLE: Heterocyclylbiphenyl aP2 inhibitors
INVENTOR(S): Robl, Jeffrey A.; Sulsky, Richard B.; Magnin, David R.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059506	A1	20001012	WO 2000-US7417	20000320
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000009563	A	20020115	BR 2000-9563	20000320
EP 1181014	A1	20020227	EP 2000-918177	20000320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002541106	T2	20021203	JP 2000-609070	20000320
EE 200100504	A	20021216	EE 2001-504	20000320
LT 4921	B	20020625	LT 2001-92	20010925
NO 2001004823	A	20011004	NO 2001-4823	20011004
LV 12782	B	20020620	LV 2001-155	20011102

PRIORITY APPLN. INFO.: US 1999-127745P P 19990405
WO 2000-US7417 W 20000320

OTHER SOURCE(S): MARPAT 133:296436

GI



I

AB AP2 inhibiting biphenyls substituted in the 2-position by a substituted 5-membered heterocycle and in the 3'-position by a carboxyalkyl, carboxyalkenyl, carboxymethoxy, carboxymethylamino, or 5-tetrazolylmethyl group, were prepd. The compds. are useful for treating diabetes and related diseases, esp. Type II diabetes (no data) and may be used in combination with another antidiabetic agent such as metformin, glyburide, troglitazone and/or insulin. Thus, 2-BrC6H4CO2H was treated with benzoin and the resulting keto ester cyclized to give 2-(2-bromophenyl)-4,5-diphenyloxazole which was coupled with 3-OCHC6H4B(OH)2 to give the biphenyl deriv. I [R = CHO]. Redn. of the formyl group, chlorination, and reaction with NaCN gave I [R = CH2CN] which was cyclized with Me3SnN3 to give I [R = 5-tetrazoylmethyl].

IC ICM A61K031-41
ICS A61K031-4164; A61K031-4178; A61K031-4196; A61K031-4245; C07D233-54; C07D233-56; C07D233-60; C07D233-68; C07D249-12; C07D254-10; C07D263-30; C07D263-34; C07D403-00

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

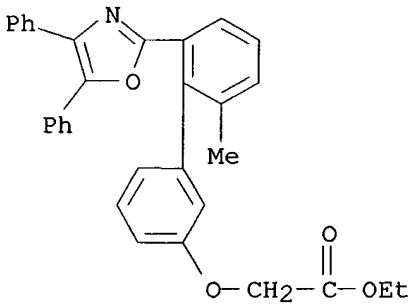
IT 1023-17-2P 1740-25-6P 2085-31-6P 36677-67-5P 50625-52-0P
50671-05-1P 52340-78-0P, (R,R)-1,2-Diphenylethane-1,2-diol 53087-13-1P
55409-09-1P 58929-02-5P 66985-53-3P 69660-01-1P 99808-99-8P
132560-56-6P 152576-01-7P 152576-02-8P 156682-54-1P 171046-43-8P
242149-45-7P 277331-38-1P 300657-17-4P 300657-18-5P 300657-19-6P
300657-20-9P 300657-21-0P 300657-22-1P 300657-23-2P 300657-24-3P
300657-25-4P 300657-26-5P 300657-27-6P 300657-28-7P 300657-29-8P
300657-30-1P 300657-31-2P 300657-32-3P 300657-33-4P 300657-34-5P
300657-35-6P 300657-36-7P 300657-37-8P 300657-38-9P 300657-39-0P
300657-40-3P 300657-41-4P 300657-42-5P 300657-43-6P 300657-44-7P
300657-45-8P 300657-46-9P 300657-47-0P 300657-48-1P 300657-50-5P
300657-52-7P 300657-53-8P 300657-54-9P 300657-55-0P 300657-56-1P
300657-57-2P 300657-58-3P 300657-59-4P 300657-60-7P 300657-61-8P
300657-62-9P 300657-63-0P 300657-64-1P 300657-65-2P 300657-66-3P
300657-67-4P 300657-68-5P 300657-69-6P 300657-70-9P
300657-72-1P 300657-73-2P 300657-74-3P 300657-75-4P 300657-79-8P
300657-80-1P 300657-81-2P 300657-82-3P 300657-83-4P 300657-85-6P
300657-86-7P 300657-87-8P 300657-88-9P 300657-89-0P 300657-90-3P
300657-91-4P 300657-92-5P 300657-93-6P 300657-94-7P 300657-95-8P
300657-96-9P 300657-97-0P 300657-98-1P 300657-99-2P 300658-00-8P
300658-01-9P 300658-02-0P 300658-03-1P 300658-04-2P 300658-05-3P
300658-06-4P 300658-07-5P 300658-08-6P 300658-09-7P 300658-10-0P
300658-11-1P 300658-12-2P 300658-13-3P 300658-14-4P 300658-15-5P
300658-16-6P 300658-17-7P 300658-18-8P 300658-19-9P 300658-20-2P

300658-21-3P 300658-22-4P 300658-23-5P 300658-24-6P 300658-25-7P
300658-26-8P 300658-27-9P 300658-28-0P 300658-29-1P 300658-30-4P
300658-31-5P 300658-32-6P 300658-33-7P 300658-34-8P 300658-35-9P
300658-36-0P 300658-37-1P 300658-38-2P 300658-39-3P 300658-40-6P
300658-41-7P 300658-42-8P 300658-43-9P 300658-44-0P 300658-45-1P
300658-46-2P 300658-47-3P 300658-48-4P 300658-49-5P 300658-50-8P
300658-51-9P 300658-52-0P 300658-53-1P 300658-54-2P 300658-55-3P
300658-56-4P 300658-57-5P 300824-92-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclylbiphenyl derivs. as aP2 inhibitors)

IT 300656-31-9P 300656-32-0P 300656-33-1P 300656-34-2P 300656-35-3P
300656-36-4P 300656-37-5P 300656-38-6P 300656-39-7P 300656-40-0P
300656-41-1P 300656-42-2P **300656-43-3P** 300656-44-4P
300656-45-5P 300656-46-6P 300656-47-7P 300656-48-8P 300656-49-9P
300656-50-2P 300656-51-3P 300656-52-4P 300656-53-5P
300656-54-6P 300656-55-7P 300656-56-8P 300656-57-9P
300656-58-0P 300656-59-1P 300656-60-4P 300656-61-5P 300656-62-6P
300656-63-7P 300656-64-8P 300656-65-9P 300656-66-0P 300656-67-1P
300656-68-2P 300656-69-3P 300656-70-6P 300656-71-7P 300656-72-8P
300656-73-9P **300656-74-0P** 300656-75-1P 300656-76-2P
300656-77-3P 300656-78-4P 300656-79-5P 300656-80-8P 300656-81-9P
300656-82-0P 300656-83-1P 300656-84-2P 300656-85-3P 300656-86-4P
300656-87-5P 300656-88-6P 300656-89-7P 300656-90-0P 300656-91-1P
300656-92-2P 300656-93-3P 300656-94-4P 300656-95-5P 300656-96-6P
300656-97-7P 300656-98-8P 300656-99-9P 300657-00-5P 300657-02-7P
300657-03-8P 300657-04-9P 300657-05-0P 300657-06-1P 300657-07-2P
300657-08-3P 300657-09-4P 300657-10-7P 300657-11-8P 300657-12-9P
300657-13-0P 300657-14-1P 300657-15-2P 300657-16-3P 300658-58-6P
300808-39-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylbiphenyl derivs. as aP2 inhibitors)

IT **300657-67-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclylbiphenyl derivs. as aP2 inhibitors)

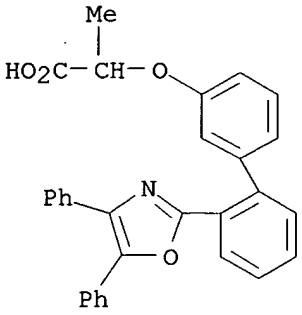
RN 300657-67-4 HCAPLUS
CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)-6'-methyl[1,1'-biphenyl]-3-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



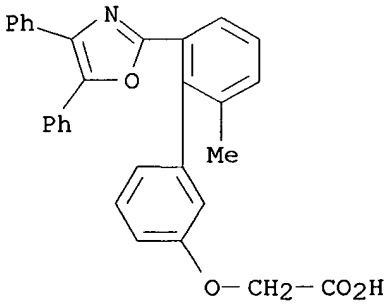
IT **300656-43-3P** **300656-54-6P** **300656-73-9P**
300656-74-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylbiphenyl derivs. as aP2 inhibitors)

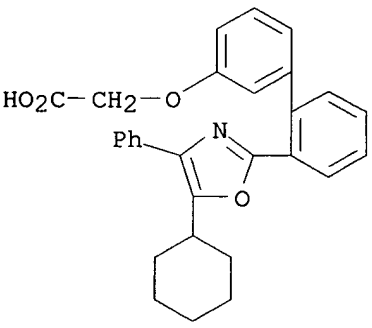
RN 300656-43-3 HCAPLUS
CN Propanoic acid, 2-[[2'-(4,5-diphenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]- (9CI) (CA INDEX NAME)



RN 300656-54-6 HCAPLUS
CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)-6'-methyl[1,1'-biphenyl]-3-yl]oxy]- (9CI) (CA INDEX NAME)

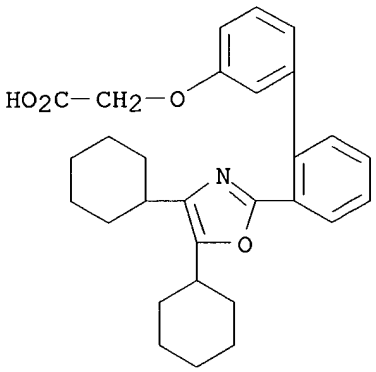


RN 300656-73-9 HCAPLUS
CN Acetic acid, [[2'-(5-cyclohexyl-4-phenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]- (9CI) (CA INDEX NAME)



RN 300656-74-0 HCAPLUS
CN Acetic acid, [[2'-(4,5-dicyclohexyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]-

(9CI) (CA INDEX NAME)

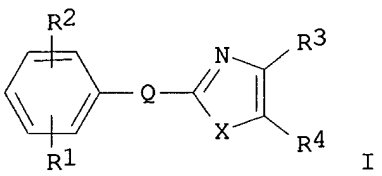


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:209887 HCAPLUS
DOCUMENT NUMBER: 132:251140
TITLE: Preparation of oxazoles and related compounds as prostaglandin E2 antagonists with diuretic activity.
INVENTOR(S): Kohno, Yutaka; Tenda, Yoshiyuki; Nakazato, Shoko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016760	A2	20000330	WO 1999-JP5152	19990920
WO 2000016760	A3	20000608		
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2002526442	T2	20020820	JP 2000-573721	19990920

PRIORITY APPLN. INFO.: AU 1998-6088 A 19980923
WO 1999-JP5152 W 19990920
OTHER SOURCE(S): MARPAT 132:251140
GI



I

AB Use of PGE2 receptor blockers, e.g., [I; R1 = hydroxyalkyl, carboxyalkyl, (protected) CO2H, carbamoyl, heterocyclyl, cyano, OH, carboxyaryl, etc.; R2 = H, alkyl; R3, R4 = aryl, haloaryl; Q = A1A2A3; A1, A3 = bond, alkylene; A2 = cycloalkenyl, cycloalkyl, bicycloalkenyl, bicycloalkyl; X = O, NH, S] for manuf. of drugs having diuretic activity is claimed. Thus, (1R,2S)-1-(4,5-diphenyloxazol-2-yl)-1-hydroxy-2-(3-methoxybenzyl)cyclohexane was refluxed 4 h with p-toluenesulfonic acid in PhMe to give (S)-2-(4,5-diphenyloxazol-2-yl)-1-(3-methoxybenzyl)-2-cyclohexene. Tested I at 10 .mu.M inhibited binding of [3H]-PGE2 to EP4 receptors by >80%.

IC ICM A61K031-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 171046-74-5P 171046-75-6P 187992-68-3P 187993-13-1P 187993-70-0P
187993-76-6P 187993-77-7P 187993-78-8P 187993-79-9P 187993-80-2P
187993-81-3P 217318-85-9P 217318-89-3P 217318-91-7P 217318-95-1P
217318-97-3P 217318-99-5P 217319-01-2P 217319-03-4P 217319-05-6P
217319-07-8P 217319-09-0P 217319-11-4P 217319-13-6P 217319-15-8P
217319-16-9P 217319-18-1P 217319-20-5P 217319-21-6P 217319-23-8P
217319-25-0P 217319-27-2P 217319-29-4P **217319-30-7P**
217319-32-9P 217319-34-1P 217319-35-2P 217319-37-4P 217319-38-5P
217319-40-9P 217319-41-0P 217319-42-1P 217319-43-2P 217319-44-3P
217319-45-4P 217319-46-5P 217319-47-6P 217319-48-7P 217319-50-1P
217319-51-2P 217319-52-3P 217319-53-4P 217319-54-5P 217319-55-6P
217319-56-7P 217319-57-8P 217319-58-9P 217319-59-0P 217319-60-3P
217319-61-4P 217319-62-5P 217319-63-6P 217319-64-7P 217319-65-8P
217319-66-9P 217319-67-0P 217319-68-1P 217319-69-2P 217319-70-5P
217319-71-6P 217319-72-7P 217319-73-8P 217319-74-9P 217319-75-0P
217319-76-1P 217319-77-2P 217319-78-3P 217319-79-4P 217319-80-7P
217319-82-9P 217319-83-0P 217319-84-1P 217319-85-2P 217319-86-3P
217319-87-4P 217319-88-5P 217319-89-6P 217319-91-0P 217319-93-2P
217319-95-4P 217319-97-6P 217319-99-8P 217320-02-0P 217320-05-3P
217320-09-7P 217320-15-5P 217320-20-2P 217320-26-8P 217320-32-6P
217320-37-1P 217320-40-6P 217320-42-8P 217320-45-1P 217320-47-3P
217320-51-9P 217320-92-8P 217320-95-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxazoles and related compds. as prostaglandin E2 antagonists with diuretic activity)

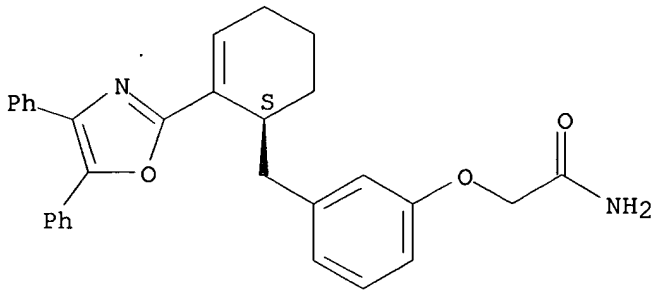
IT 119-53-9, Benzoin 636-82-8, 1-Cyclohexene-1-carboxylic acid 824-98-6, 3-Methoxybenzyl chloride 1438-96-6 2398-37-0, 3-Bromoanisole 4675-18-7, 4,5-Diphenyloxazole 56724-03-9, 3-Methoxy-2-methylbenzaldehyde 99769-19-4 143722-25-2 **171045-79-7**
171046-86-9 187993-67-5 217320-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of oxazoles and related compds. as prostaglandin E2 antagonists with diuretic activity)

IT **217319-30-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxazoles and related compds. as prostaglandin E2 antagonists with diuretic activity)

RN 217319-30-7 HCAPLUS

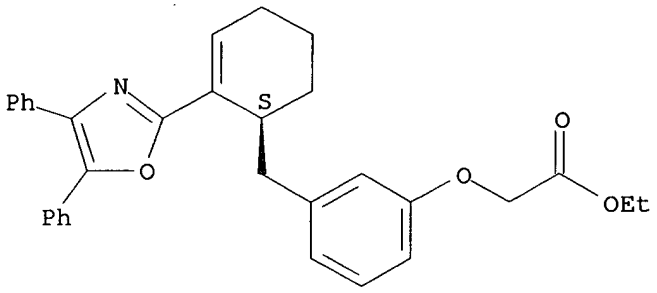
CN Acetamide, 2-[3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171045-79-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of oxazoles and related compds. as prostaglandin E2 antagonists with diuretic activity)
RN 171045-79-7 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:190929 HCAPLUS
DOCUMENT NUMBER: 132:231970
TITLE: Method for treating atherosclerosis employing an aP2 inhibitor, and pharmaceutical combinations with other agents
INVENTOR(S): Robl, Jeffrey A.; Parker, Rex A.; Biller, Scott A.; Jamil, Haris; Jacobson, Bruce L.; Kodukula, Krishna
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015230	A1	20000323	WO 1999-US21069	19990913

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,

KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2344300	AA	20000323	CA 1999-2344300	19990913	
AU 9961437	A1	20000403	AU 1999-61437	19990913	
AU 755563	B2	20021212			
BR 9913831	A	20010529	BR 1999-13831	19990913	
EP 1113801	A1	20010711	EP 1999-948210	19990913	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
EE 200100155	A	20020815	EE 2001-20010015519990913		
NO 2001001352	A	20010511	NO 2001-1352	20010316	
LT 4871	B	20011227	LT 2001-22	20010316	
LT 4870	B	20011227	LT 2001-23	20010316	
LV 12687	B	20011020	LV 2001-58	20010412	
US 2002035064	A1	20020321	US 2001-905235	20010713	

PRIORITY APPLN. INFO.:
US 1998-100677P P 19980917
US 1999-390275 B1 19990907
WO 1999-US21069 W 19990913

OTHER SOURCE(S): MARPAT 132:231970

AB A method is provided for treating atherosclerosis and related diseases, employing an aP2 inhibitor or a combination of an aP2 inhibitor and another antiatherosclerotic agent, e.g. an HMG CoA reductase inhibitor such as pravastatin.

IC ICM A61K031-50
ICS A61K031-505; A61K031-42

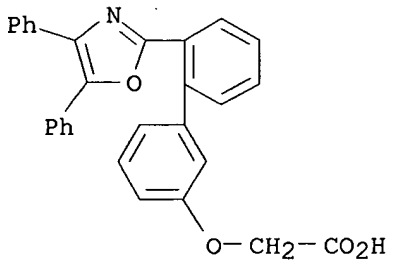
CC 1-10 (Pharmacology)
Section cross-reference(s): 63

IT 288-42-6D, Oxazole, derivs. 289-95-2D, Pyrimidine, derivs. 943-45-3D, Fibric acid, derivs. 4214-64-6D, derivs. 4675-18-7D, 4,5-Diphenyloxazole, derivs. 6670-13-9D, derivs. 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 134972-85-3 143215-67-2 145599-86-6, Cerivastatin **152575-74-1** 201531-68-2D, Pyridazinone, derivs. 261765-73-5 261768-25-6D, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aP2 inhibitor for treating atherosclerosis, and combinations with other agents)

IT **152575-74-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aP2 inhibitor for treating atherosclerosis, and combinations with other agents)

RN 152575-74-1 HCAPLUS

CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:190928 HCAPLUS
DOCUMENT NUMBER: 132:231969
TITLE: Method for treating diabetes employing an aP2 inhibitor and combination
INVENTOR(S): Robl, Jeffrey A.; Parker, Rex A.; Biller, Scott A.; Jamil, Haris; Jacobson, Bruce L.; Kodukula, Krishna
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015229	A1	20000323	WO 1999-US20946	19990913
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344309	AA	20000323	CA 1999-2344309	19990913
AU 9963877	A1	20000403	AU 1999-63877	19990913
AU 754488	B2	20021114		
BR 9913833	A	20010529	BR 1999-13833	19990913
EP 1121129	A1	20010808	EP 1999-951438	19990913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200100154	A	20021216	EE 2001-20010015419990913	
NO 2001001351	A	20010511	NO 2001-1351	20010316
LT 4871	B	20011227	LT 2001-22	20010316
LT 4870	B	20011227	LT 2001-23	20010316
LV 12686	B	20011020	LV 2001-57	20010412
US 2002035064	A1	20020321	US 2001-905235	20010713

PRIORITY APPLN. INFO.:
US 1998-100677P P 19980917
US 1999-390275 B1 19990907
WO 1999-US20946 W 19990913

OTHER SOURCE(S): MARPAT 132:231969

AB A method is provided for treating diabetes and related diseases, such as insulin resistance, obesity, hyperglycemia, hyperinsulinemia, elevated blood levels of free fatty acids or glycerol, hypertriglyceridemia, and esp. Type II diabetes, employing an adipocyte protein aP2 inhibitor or a combination of an aP2 inhibitor and another antidiabetic agent such as metformin, glyburide, troglitazone and/or insulin.

IC ICM A61K031-50
ICS A61K031-505; A61K031-42

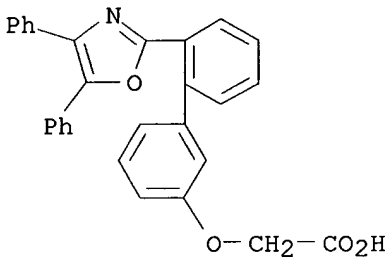
CC 1-10 (Pharmacology)
Section cross-reference(s): 63

IT 56-03-1D, Biguanide, derivs. 94-20-2, Chlorpropamide 657-24-9, Metformin 2295-31-0D, Thiazolidinedione, derivs. 9004-10-8, Insulin, biological studies 10238-21-8, Glyburide 21187-98-4, Gliclazide 29094-61-9, Glipizide 54870-28-9D, Meglitinide, derivs. 56180-94-0, Acarbose 72432-03-2, Miglitol 93479-97-1, Glimepiride 97322-87-7, Troglitazone 105816-04-4, Nateglinide 134972-85-3 135062-02-1, Repaglinide 143215-67-2 **152575-74-1** 213252-19-8, KRP 297 261765-72-4 261765-73-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aP2 inhibitor and combination with another antidiabetic agent for treatment of diabetes and related diseases)

IT **152575-74-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aP2 inhibitor and combination with another antidiabetic agent for treatment of diabetes and related diseases)

RN 152575-74-1 HCAPLUS

CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:303242 HCAPLUS

DOCUMENT NUMBER: 130:325140

TITLE: Preparation of 4,5-diaryloxazoles as prostaglandin I2 agonists.

INVENTOR(S): Hattori, Kouji; Fujii, Naoaki; Tanaka, Akira; Takamura, Fujiko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

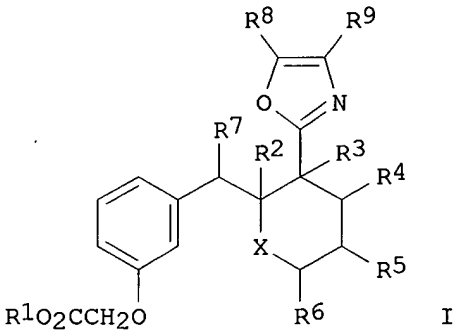
SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921843	A1	19990506	WO 1998-JP4455	19981001
W: AU, BR, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2307952	AA	19990506	CA 1998-2307952	19981001
AU 9892823	A1	19990517	AU 1998-92823	19981001
AU 746222	B2	20020418		
EP 1027340	A1	20000816	EP 1998-945583	19981001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9813281	A	20000822	BR 1998-13281	19981001
JP 2001521029	T2	20011106	JP 2000-517955	19981001
TW 450966	B	20010821	TW 1998-87117054	19981014
US 6297267	B1	20011002	US 2000-529405	20000426

PRIORITY APPLN. INFO.: AU 1997-32 A 19971027
WO 1998-JP4455 W 19981001

OTHER SOURCE(S): MARPAT 130:325140
GI



I

AB Title compds. [I; R1 = H, protective group; R2, R5, R6, R7 = H, OH; R3, R4 = H; R3R4 = epoxy, single bond; R8, R9 = (substituted) aryl; X = single bond, methylene; R2R3 = single bond; with provisos], were prepd. Thus, Na [3-[[(1S)-2-(4,5-diphenyloxazol-2-yl)-2,3-epoxy-1-cyclohexyl]methyl]phenoxy]acetate (prepn. given) at 10-7 M inhibited ADP-induced platelet aggregation by >90%.

IC ICM C07D263-32
ICS C07D413-04; A61K031-42

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

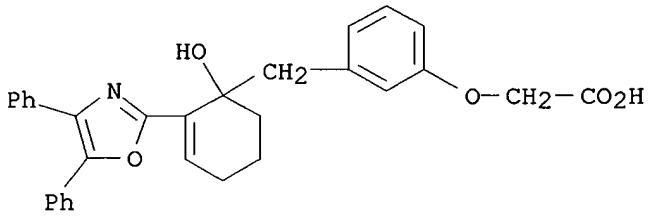
IT **223911-24-8P 223911-25-9P** 223911-26-0P
223911-27-1P 223911-28-2P 223911-29-3P
223911-30-6P 223911-31-7P 223911-32-8P 223911-33-9P
223911-34-0P **223911-61-3P 223911-62-4P** 223911-63-5P

223911-64-6P 223911-65-7P 223911-66-8P **223911-67-9P**
223911-68-0P 223911-69-1P 223911-70-4P
223911-72-6P 223911-73-7P 223911-74-8P
223911-75-9P 223911-76-0P 223911-77-1P
223911-78-2P 223911-79-3P 223911-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,5-diaryloxazoles as prostaglandin I2 agonists)

IT 105-36-2, Ethyl bromoacetate 4675-18-7, 4,5-Diphenyloxazole
161578-92-3 **171045-79-7 223911-81-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 4,5-diaryloxazoles as prostaglandin I2 agonists)

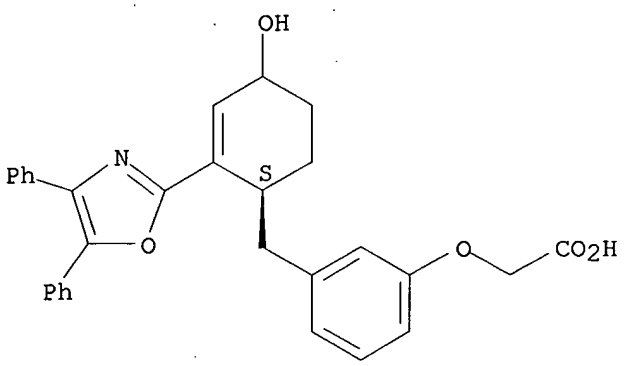
IT **223911-24-8P 223911-25-9P 223911-27-1P**
223911-28-2P 223911-29-3P 223911-30-6P
223911-31-7P 223911-61-3P 223911-62-4P
223911-67-9P 223911-68-0P 223911-69-1P
223911-70-4P 223911-72-6P 223911-73-7P
223911-74-8P 223911-75-9P 223911-76-0P
223911-77-1P 223911-78-2P 223911-79-3P
223911-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,5-diaryloxazoles as prostaglandin I2 agonists)

RN 223911-24-8 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)



RN 223911-25-9 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-4-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

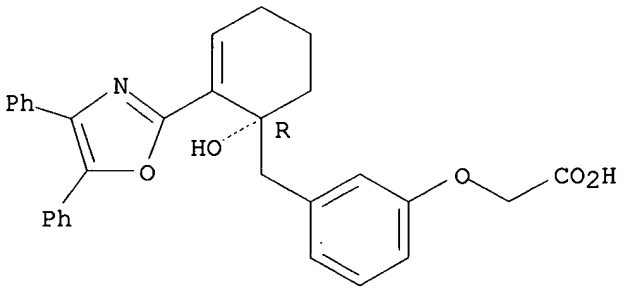
Absolute stereochemistry.



● Na

RN 223911-27-1 HCAPLUS
CN Acetic acid, [3-[[(1R)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

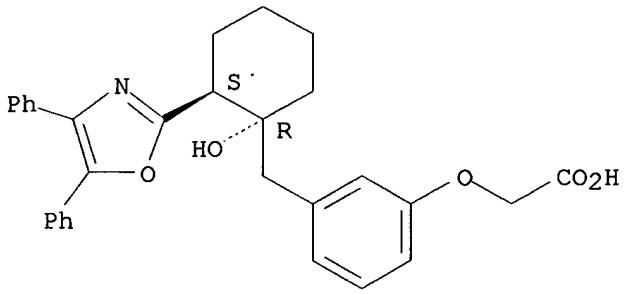
Absolute stereochemistry.



● Na

RN 223911-28-2 HCAPLUS
CN Acetic acid, [3-[[(1R,2S)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxycyclohexyl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

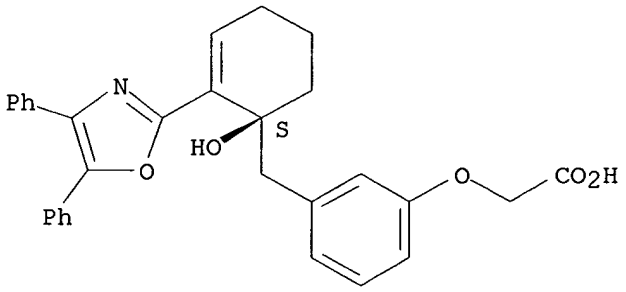
Absolute stereochemistry.



● Na

RN 223911-29-3 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

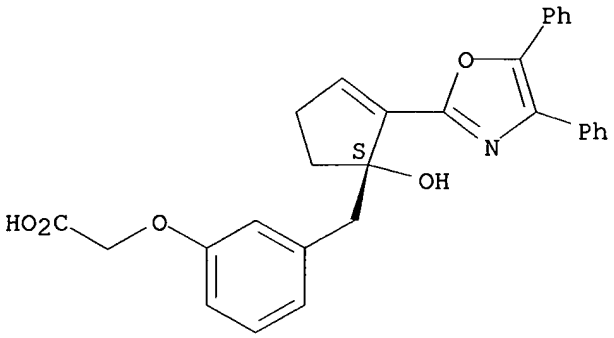
Absolute stereochemistry.



● Na

RN 223911-30-6 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclopenten-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

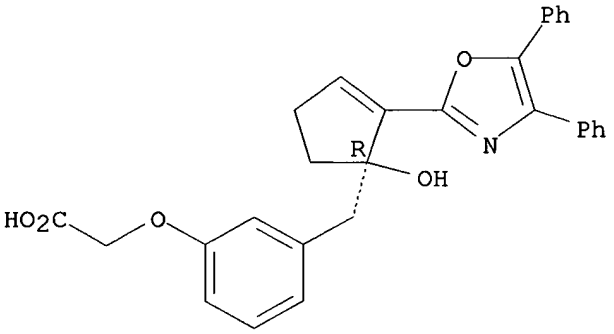
Absolute stereochemistry.



● Na

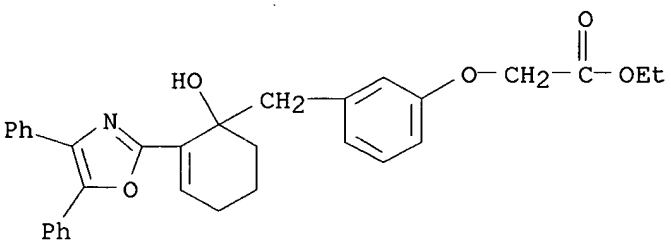
RN 223911-31-7 HCAPLUS
CN Acetic acid, [3-[[(1R)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclopenten-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



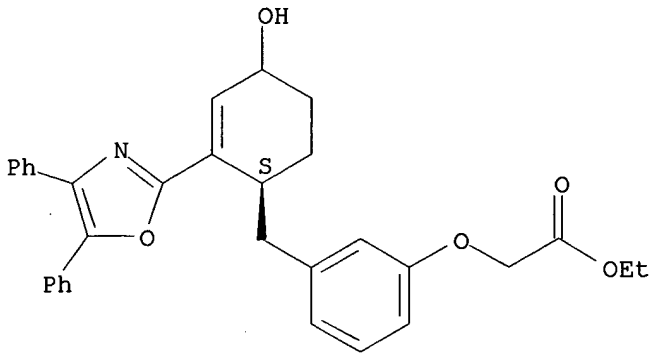
● Na

RN 223911-61-3 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



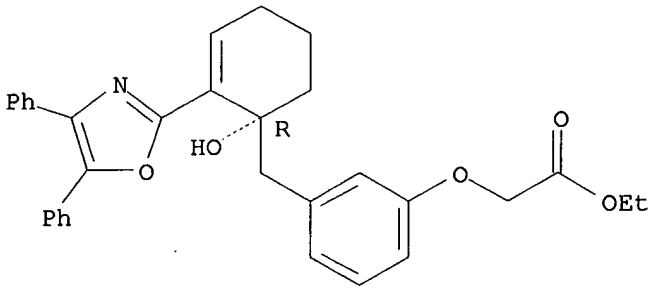
RN 223911-62-4 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-4-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



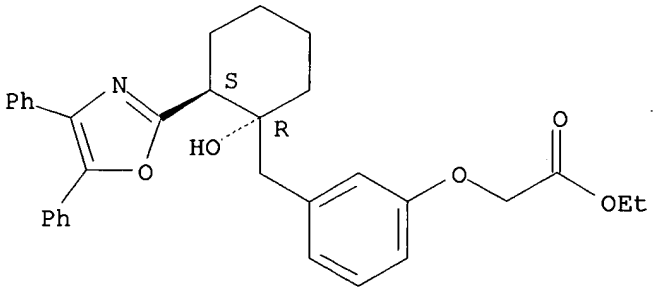
RN 223911-67-9 HCAPLUS
CN Acetic acid, [3-[[(1R)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223911-68-0 HCAPLUS
CN Acetic acid, [3-[[(1R,2S)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxycyclohexyl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

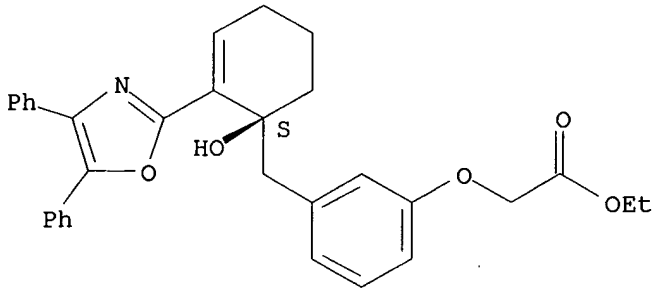
Absolute stereochemistry.



RN 223911-69-1 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclohexen-

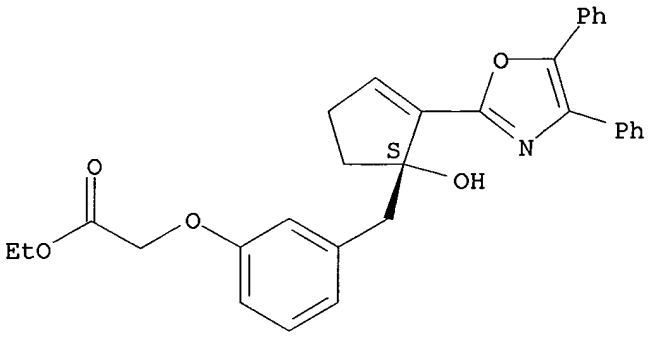
1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



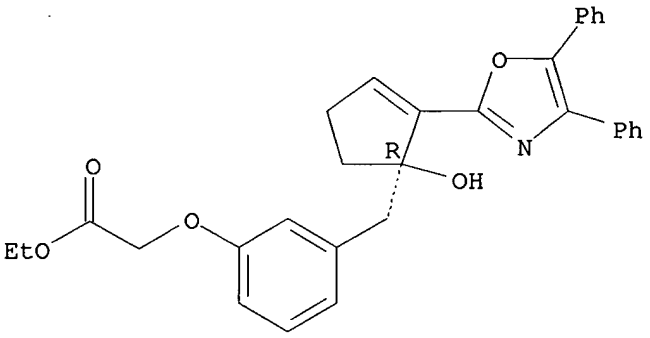
RN 223911-70-4 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclopenten-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223911-72-6 HCAPLUS
CN Acetic acid, [3-[[(1R)-2-(4,5-diphenyl-2-oxazolyl)-1-hydroxy-2-cyclopenten-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

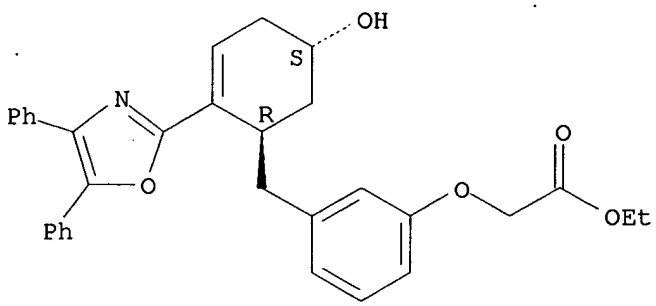
Absolute stereochemistry.



RN 223911-73-7 HCAPLUS
CN Acetic acid, [3-[[(1R,5S)-2-(4,5-diphenyl-2-oxazolyl)-5-hydroxy-2-

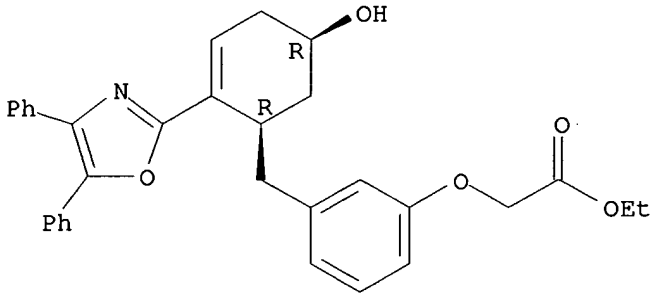
cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

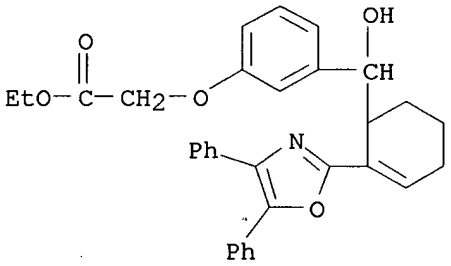


RN 223911-74-8 HCAPLUS
CN Acetic acid, [3-[[(1R,5R)-2-(4,5-diphenyl-2-oxazolyl)-5-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

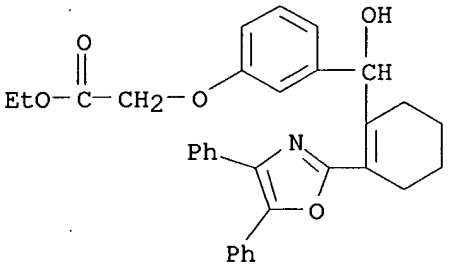
Absolute stereochemistry.



RN 223911-75-9 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]hydroxymethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

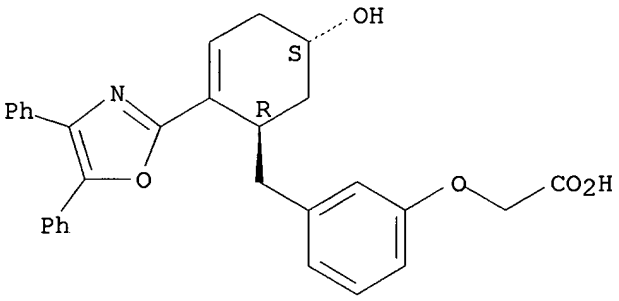


RN 223911-76-0 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-1-cyclohexen-1-yl]hydroxymethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 223911-77-1 HCAPLUS
CN Acetic acid, [3-[[(1R,5S)-2-(4,5-diphenyl-2-oxazolyl)-5-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

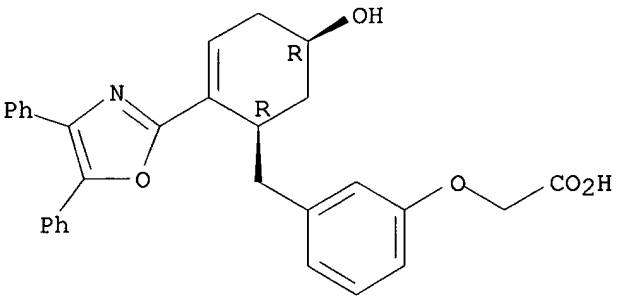
Absolute stereochemistry.



● Na

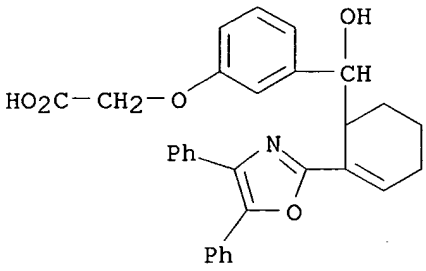
RN 223911-78-2 HCAPLUS
CN Acetic acid, [3-[[(1R,5R)-2-(4,5-diphenyl-2-oxazolyl)-5-hydroxy-2-cyclohexen-1-yl]methyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



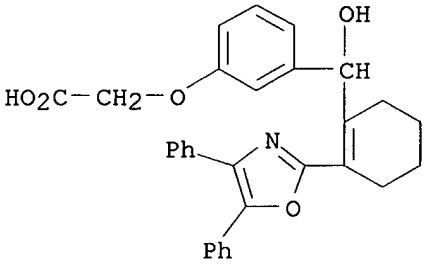
Na

RN 223911-79-3 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]hydroxymethyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

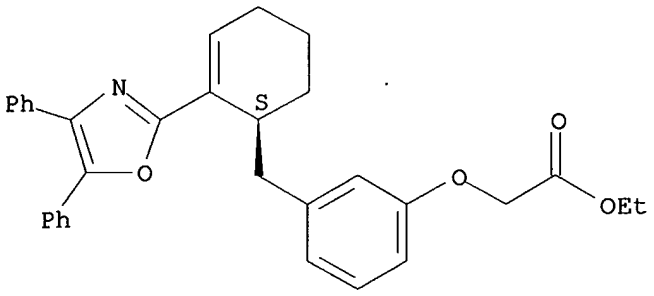
RN 223911-80-6 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-1-cyclohexen-1-yl]hydroxymethyl]phenoxy]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

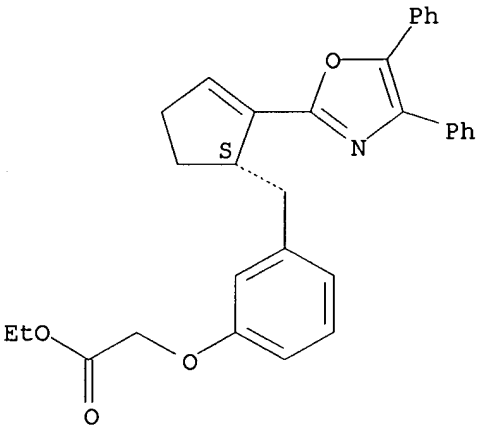
IT **171045-79-7 223911-81-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 4,5-diaryloxazoles as prostaglandin I2 agonists)
RN 171045-79-7 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223911-81-7 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclopenten-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:806646 HCAPLUS
DOCUMENT NUMBER: 130:52407
TITLE: Preparation of diphenyloxazoles as prostaglandin E2 agonists and antagonists useful as drugs.
INVENTOR(S): Hattori, Kouji; Okitsu, Osamu; Fujii, Naoaki; Tanaka, Akira; Taniguchi, Kiyoshi; Koyama, Satoshi; Nishio, Mie
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855468	A1	19981210	WO 1998-JP2398	19980601

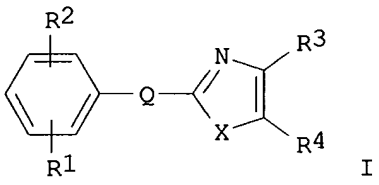
W: BR, CA, CN, JP, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

EP 989975	A1	20000405	EP 1998-921897	19980601	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2002503247	T2	20020129	JP 1999-502042	19980601	
US 6245790	B1	20010612	US 2000-424253	20000308	
PRIORITY APPLN. INFO.:			AU 1997-7132	A	19970602
			WO 1998-JP2398	W	19980601

OTHER SOURCE(S): MARPAT 130:52407

GI



I

AB Title compds. [I; R1 = hydroxyalkyl, (protected) carboxy, carbamoyl, heterocyclyl, cyano, haloalkylsulfonyloxy, hydroxyalkoxy, carbamoylalkoxy, (protected) carboxyaryl, carbamoylaryl, heterocyclyloxy, amino, protected carboxyamino, alkylsulfonylamino; R2 = H, alkyl; R3, R4 = (halo)aryl; Q = A1A2A3; A1 = bond, alkylene; A2 = cycloalkenylene, cycloalkylene, bicycloalkenylene, bicycloalkylene; A3 = bond, alkylene; X = O, NH, S], were prepd. Thus, (1R,2S)-1-(4,5-diphenyloxazol-2-yl)-1-hydroxy-2-(3-methoxybenzyl)cyclohexane (prepn. given) was refluxed with p-toluenesulfonic acid in PhMe to give (S)-2-(4,5-diphenyloxazol-2-yl)-1-(3-methoxybenzyl)-2-cyclohexene. Tested I at 10 .mu.M gave >80% inhibition of [3H]-PGE2 binding to prostanoid human EP4 receptor prepns.

IC ICM C07D263-32
ICS C07D413-10; A61K031-42

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT

171046-74-5P	171046-75-6P	187992-68-3P	187993-70-0P	187993-76-6P
187993-77-7P	187993-78-8P	187993-79-9P	187993-80-2P	187993-81-3P
217318-85-9P	217318-87-1P	217318-89-3P	217318-91-7P	217318-93-9P
217318-95-1P	217318-97-3P	217318-99-5P	217319-01-2P	217319-03-4P
217319-05-6P	217319-07-8P	217319-09-0P	217319-11-4P	217319-13-6P
217319-15-8P	217319-16-9P	217319-18-1P	217319-20-5P	217319-21-6P
217319-23-8P	217319-25-0P	217319-27-2P	217319-29-4P	
217319-30-7P	217319-32-9P	217319-34-1P	217319-37-4P	
217319-38-5P	217319-39-6P	217319-40-9P	217319-41-0P	217319-42-1P
217319-43-2P	217319-44-3P	217319-45-4P	217319-46-5P	217319-48-7P
217319-50-1P	217319-51-2P	217319-52-3P	217319-53-4P	217319-54-5P
217319-55-6P	217319-56-7P	217319-57-8P	217319-58-9P	217319-59-0P
217319-60-3P	217319-61-4P	217319-63-6P	217319-64-7P	217319-65-8P
217319-66-9P	217319-68-1P	217319-69-2P	217319-70-5P	217319-71-6P
217319-72-7P	217319-73-8P	217319-74-9P	217319-75-0P	217319-76-1P
217319-77-2P	217319-78-3P	217319-79-4P	217319-80-7P	217319-81-8P
217319-82-9P	217319-83-0P	217319-84-1P	217319-85-2P	217319-86-3P
217319-87-4P	217319-88-5P	217319-89-6P	217319-91-0P	217319-93-2P
217319-95-4P	217319-97-6P	217319-99-8P	217320-02-0P	217320-05-3P
217320-09-7P	217320-15-5P	217320-20-2P	217320-26-8P	217320-32-6P
217320-37-1P	217320-40-6P	217320-42-8P	217320-45-1P	217320-47-3P
217320-51-9P	217320-92-8P	217320-95-1P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diphenyloxazoles as prostaglandin E2 agonists and antagonists useful as drugs)

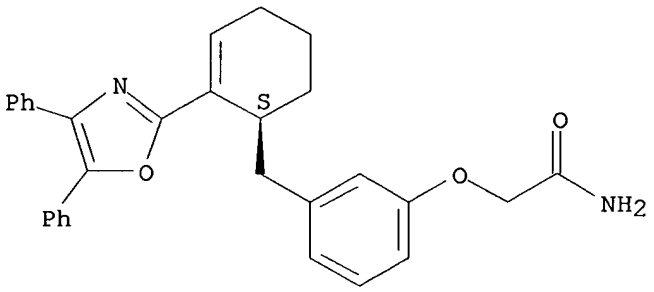
IT 104-92-7, 4-Bromoanisole 108-94-1, Cyclohexanone, reactions 119-53-9, Benzoin 636-82-8, 1-Cyclohexene-1-carboxylic acid 1438-96-6 4675-18-7, 4,5-Diphenyloxazole 56724-03-9, 3-Methoxy-2-methylbenzaldehyde 108817-38-5 143722-25-2 **171045-79-7** 171046-86-9 187993-67-5 217320-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of diphenyloxazoles as prostaglandin E2 agonists and antagonists useful as drugs)

IT **217319-30-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diphenyloxazoles as prostaglandin E2 agonists and antagonists useful as drugs)

RN 217319-30-7 HCAPLUS

CN Acetamide, 2-[3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

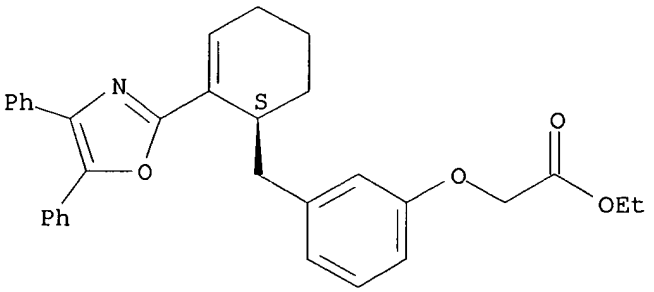


IT **171045-79-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of diphenyloxazoles as prostaglandin E2 agonists and antagonists useful as drugs)

RN 171045-79-7 HCAPLUS

CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:485414 HCAPLUS
DOCUMENT NUMBER: 129:211713
TITLE: PGI2 agonists for treatment of inflammatory bowel disease
INVENTOR(S): Kondo, Akiko; Kadowaki, Makoto; Kuratani, Kazuyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10194992	A2	19980728	JP 1997-355935	19971225
PRIORITY APPLN. INFO.:			AU 1996-4406	19961230
OTHER SOURCE(S):		MARPAT 129:211713		

AB Prophylactic and/or therapeutic agents for inflammatory bowel diseases such as infectious enteritis, Crohn's disease, ulcerative colitis, etc., contain PGI2 agonists as active ingredients. The agonists may be oxazole derivs. (Markush structure given), tetralin derivs. (Markush structure given), or their salts. Oral administration of Na [3-[[(1S)-2-(4,5-diphenyloxazol-2-yl)2-cyclohexen-1-yl]methyl]phenoxy]acetate to rats with 2,4,6-trinitrobenzenesulfonic acid-induced colitis significantly suppressed increase in the wt. of distal colon.

IC ICM A61K045-00
ICS A61K031-42; C07D263-32; C07D413-04

CC 1-7 (Pharmacology)
Section cross-reference(s): 63

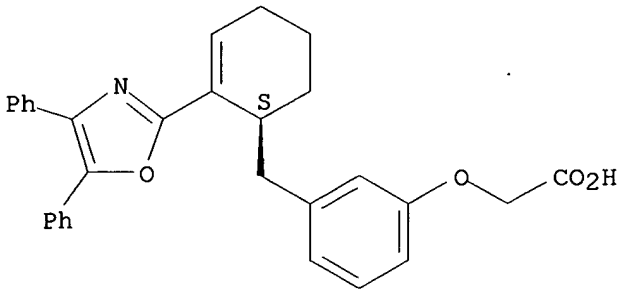
IT **171046-15-4** 172937-08-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PGI2 agonists for treatment of inflammatory bowel disease)

IT **171046-15-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PGI2 agonists for treatment of inflammatory bowel disease)

RN 171046-15-4 HCAPLUS

CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

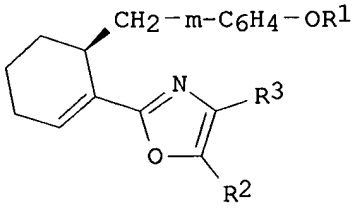


● Na

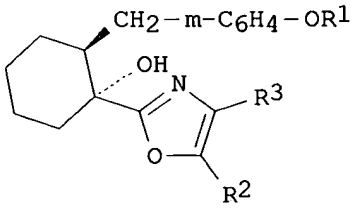
L6 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:802107 HCAPLUS
DOCUMENT NUMBER: 128:75387
TITLE: Preparation of oxazoles as intermediates for prostaglandin I2 agonists
INVENTOR(S): Hattori, Koji; Okitsu, Osamu; Taniguchi, Kiyoshi; Tabuchi, Seiichiro
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09323981	A2	19971216	JP 1996-143254	19960605

PRIORITY APPLN. INFO.: JP 1996-143254 19960605
OTHER SOURCE(S): CASREACT 128:75387; MARPAT 128:75387
GI



I



II

AB Cyclohexenyloxazoles I [R1 = H, protective group; R2, R3 = (substituted) aryl] or their salts are prepd. by dehydration of (hydroxycyclohexyl)oxazoles II (R1 = R3 = same as I). A PhMe soln. contg. 28 g (1R,2S)-II (R1 = Me, R2 = R3 = Ph) (prepn. given) and p-MeC6H4SO3H was refluxed for 4 h to give 16 g (6S)-I (R1 = Me, R2 = R3 = Ph), which was converted into prostaglandin I2 agonist (1S)-I (R1 = CH2CO2H, R2 = R3 = Ph) in 3 steps.

IC ICM C07D263-32

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **171045-79-7P** 171046-44-9P 200433-00-7P 200433-01-8P 200433-02-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclohexenyloxazoles as intermediates for prostaglandin I2 agonists)

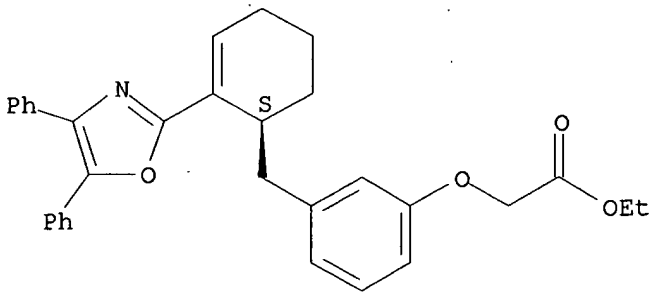
IT **171046-15-4P 200433-03-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclohexenyloxazoles as intermediates for prostaglandin I2 agonists)

IT **171045-79-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclohexenyloxazoles as intermediates for prostaglandin I2 agonists)

RN 171045-79-7 HCAPLUS

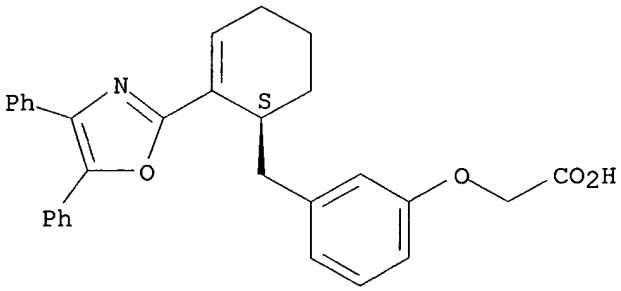
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171046-15-4P 200433-03-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclohexenyloxazoles as intermediates for prostaglandin I2 agonists)
RN 171046-15-4 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)

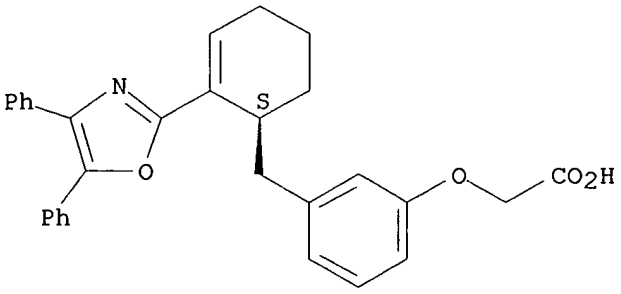
Absolute stereochemistry.



● Na

RN 200433-03-0 HCAPLUS
CN Acetic acid, [3-[[(1S)-2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



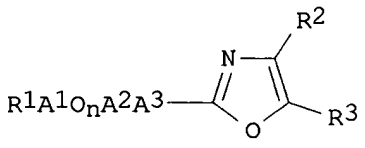
L6 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:220630 HCAPLUS
DOCUMENT NUMBER: 126:212136
TITLE: Preparation of 4,5-diaryloxazole derivatives as prostaglandin I2 antagonists.
INVENTOR(S): Taniguchi, Kiyoshi; Hattori, Kouji; Tsubaki, Kazunori; Okitsu, Osamu; Tabuchi, Seiichiro
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Taniguchi, Kiyoshi; Hattori, Kouji; Tsubaki, Kazunori; Okitsu, Osamu; Tabuchi, Seiichiro
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703973	A1	19970206	WO 1996-JP1996	19960718
W: AU, CA, CN, HU, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 401408	B	20000811	TW 1996-85108673	19960717
AU 9664697	A1	19970218	AU 1996-64697	19960718
AU 716304	B2	20000224		
EP 842161	A1	19980520	EP 1996-924137	19960718
EP 842161	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1196726	A	19981021	CN 1996-197084	19960718
CN 1095839	B	20021211		
JP 11509191	T2	19990817	JP 1996-504319	19960718
EP 1213285	A2	20020612	EP 2002-3081	19960718
EP 1213285	A3	20020703		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 224380	E	20021015	AT 1996-924137	19960718
ES 2181902	T3	20030301	ES 1996-924137	19960718
US 5972965	A	19991026	US 1998-983139	19980121
US 6300344	B1	20011009	US 1999-357664	19990720

PRIORITY APPLN. INFO.:

GB 1995-15085	A	19950721
AU 1996-9002	A	19960329
EP 1996-924137	A3	19960718
WO 1996-JP1996	W	19960718
US 1998-983139	A3	19980121

OTHER SOURCE(S): MARPAT 126:212136
GI



I

AB Title compds. [I; R1 = (protected) carboxy; , R2, R3 = (substituted) aryl;

R4 = H, alkyl, OH, aryl; A1 = lower alkylene; A2 = R4-substituted Ph, dihydronaphthyl, tetrahydronaphthyl, indanyl; A3 = A4A5; A4 = bond, CH2, CO; A5 = (substituted) cycloalkenyl, cycloalkyl, bicycloheptyl, bicycloheptenyl, tetrahydrofuryl, tetrahydrothienyl, azetidinyl, pyrrolidinyl, piperidinyl; n = 0, 1], were prepd. Thus, 2-(4,5-diphenyloxazol-2-yl)-3-(3-tert-butyldiphenylsilyloxybenzyl)tetrahydrofuran (prepn. given) in THF was treated with Bu4NF and the product was stirred with EtO2CCH2Br and K2CO3 in DMF to give Et [3-[[2-(4,5-diphenyloxazol-2-yl)tetrahydrofuran-3-yl]methyl]phenoxy]acetate. Na [3-[[2-(4,5-diphenyloxazol-2-yl)-2-cyclohepten-1-yl]methyl]phenoxy]acetate at 10-7 M gave 88% inhibition of ADP-induced human platelet aggregation.

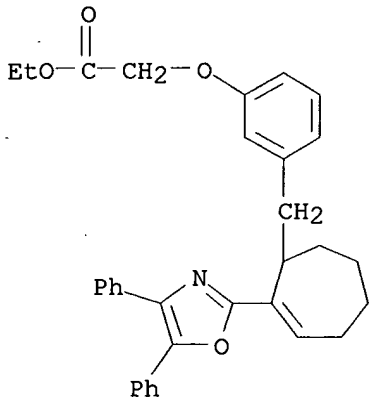
IC ICM C07D263-32
ICS A61K031-42; C07D413-04

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

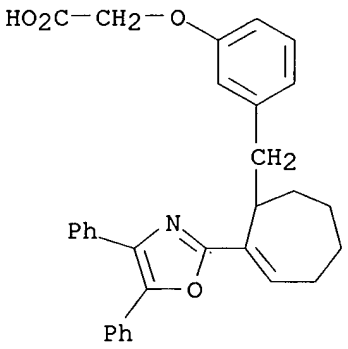
IT 187991-93-1P 187991-96-4P 187991-97-5P 187991-98-6P 187991-99-7P
187992-00-3P **187992-01-4P** 187992-03-6P 187992-04-7P
187992-05-8P 187992-06-9P 187992-07-0P 187992-08-1P
187992-09-2P 187992-11-6P 187992-12-7P 187992-13-8P
187992-14-9P **187992-15-0P** 187992-16-1P 187992-17-2P
187992-19-4P 187992-21-8P 187992-22-9P 187992-23-0P 187992-24-1P
187992-25-2P 187992-26-3P 187992-27-4P 187992-28-5P 187992-29-6P
187992-31-0P **187992-32-1P 187992-33-2P**
187992-34-3P 187992-35-4P 187992-36-5P 187992-37-6P
187992-38-7P 187992-39-8P 187992-40-1P **187992-41-2P**
187992-42-3P 187992-43-4P 187992-44-5P 187992-45-6P 187992-46-7P
187992-47-8P 187992-48-9P **187992-49-0P 187992-50-3P**
187992-51-4P **187992-52-5P** 187992-53-6P 187992-54-7P
187992-55-8P 187992-56-9P 187992-57-0P 187992-58-1P 187992-59-2P
187992-60-5P 187992-61-6P 187992-62-7P 187992-63-8P 187992-64-9P
187992-65-0P 187992-66-1P 187992-68-3P 187992-69-4P 187992-71-8P
187992-73-0P 187992-75-2P 187992-76-3P 187992-78-5P 187992-80-9P
187992-81-0P 187992-83-2P 187992-84-3P 187992-86-5P 187992-88-7P
187992-90-1P 187992-92-3P 187992-94-5P 187992-96-7P 187992-97-8P
187992-98-9P 187992-99-0P 187993-00-6P 187993-01-7P 187993-02-8P
187993-03-9P 187993-04-0P **187993-05-1P 187993-06-2P**
187993-07-3P **187993-08-4P 187993-09-5P**
187993-10-8P 187993-11-9P 187993-12-0P
187993-13-1P **187993-14-2P** 187993-15-3P 187992-16-4P
187993-17-5P 187993-18-6P 187993-19-7P 187993-20-0P
187993-21-1P 187993-22-2P 187993-23-3P
187993-24-4P 187995-63-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,5-diaryloxazole derivs. as prostaglandin I2 antagonists)

IT **187992-01-4P 187992-09-2P 187992-15-0P**
187992-32-1P 187992-33-2P 187992-34-3P
187992-35-4P 187992-41-2P 187992-49-0P
187992-50-3P 187992-52-5P 187993-05-1P
187993-06-2P 187993-08-4P 187993-09-5P
187993-10-8P 187993-11-9P 187993-12-0P
187993-14-2P 187993-21-1P 187993-22-2P
187993-23-3P 187993-24-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,5-diaryloxazole derivs. as prostaglandin I2 antagonists)

RN 187992-01-4 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohepten-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

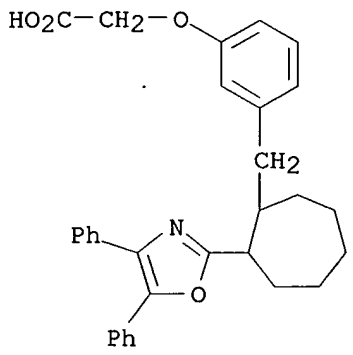


RN 187992-09-2 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohepten-1-yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)

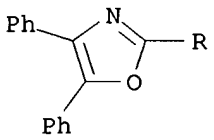


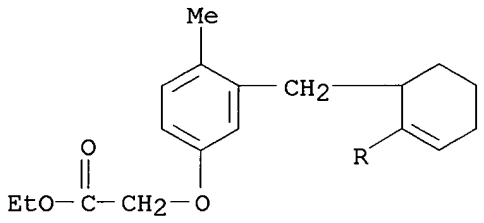
● Na

RN 187992-15-0 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)cycloheptyl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)

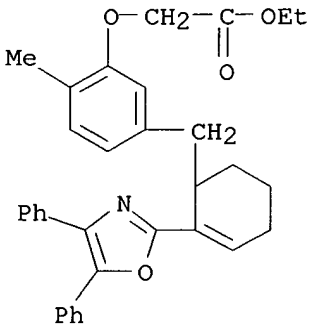


RN 187992-32-1 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]-4-methylphenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

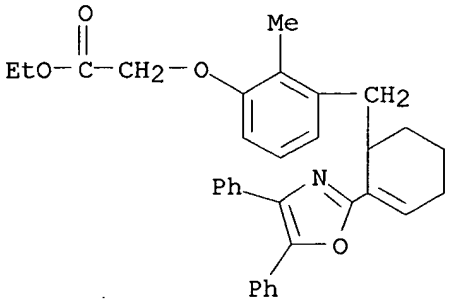




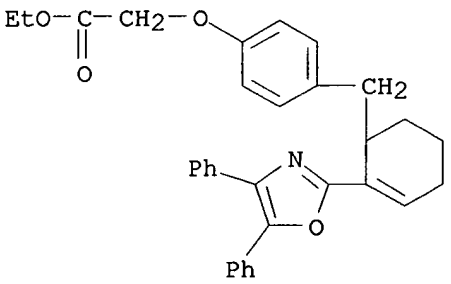
RN 187992-33-2 HCAPLUS
CN Acetic acid, [5-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]-2-methylphenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



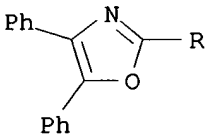
RN 187992-34-3 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]-2-methylphenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

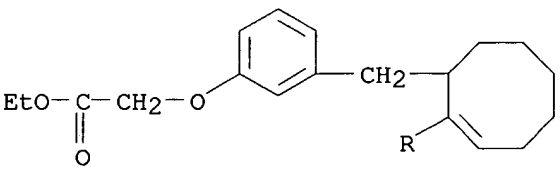


RN 187992-35-4 HCAPLUS
CN Acetic acid, [4-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



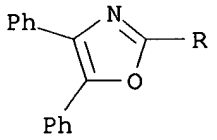
RN 187992-41-2 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cycloocten-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

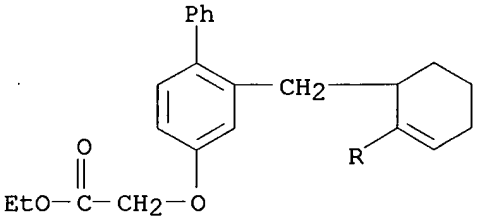




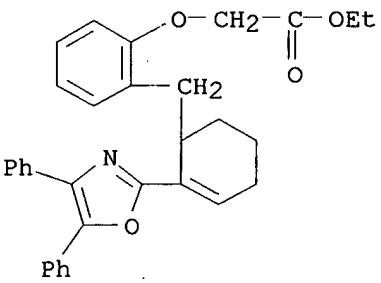
RN 187992-49-0 HCAPLUS
CN Acetic acid, [[2-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-

yl]methyl][1,1'-biphenyl]-4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

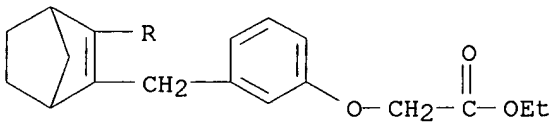


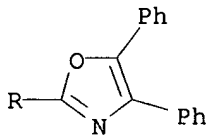


RN 187992-50-3 HCAPLUS
CN Acetic acid, [2-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



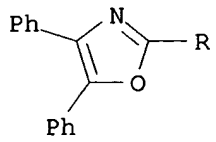
RN 187992-52-5 HCAPLUS
CN Acetic acid, [3-[[3-(4,5-diphenyl-2-oxazolyl)bicyclo[2.2.1]hept-2-en-2-yl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

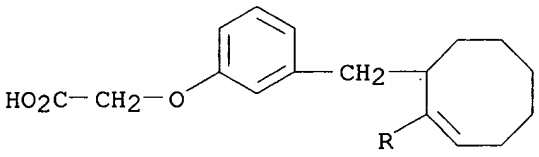




RN 187993-05-1 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cycloocten-1-

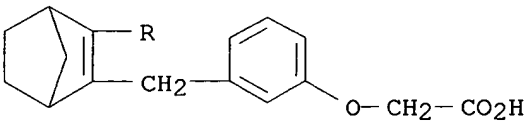
yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)

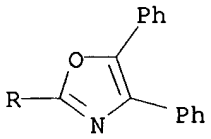




● Na

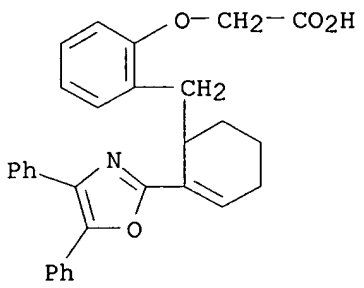
RN 187993-06-2 HCAPLUS
CN Acetic acid, [3-[[3-(4,5-diphenyl-2-oxazolyl)bicyclo[2.2.1]hept-2-en-2-yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)





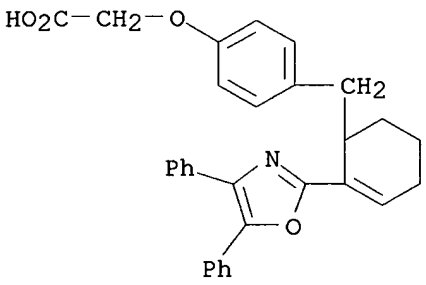
● Na

RN 187993-08-4 HCAPLUS
CN Acetic acid, [2-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



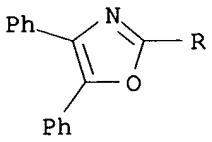
● Na

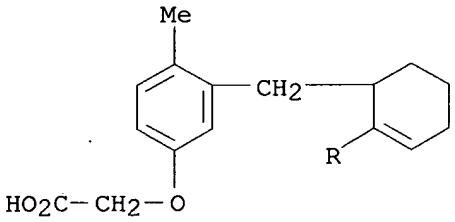
RN 187993-09-5 HCAPLUS
CN Acetic acid, [4-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



● Na

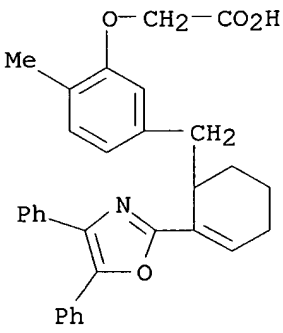
RN 187993-10-8 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]-4-methylphenoxy]-, sodium salt (9CI) (CA INDEX NAME)





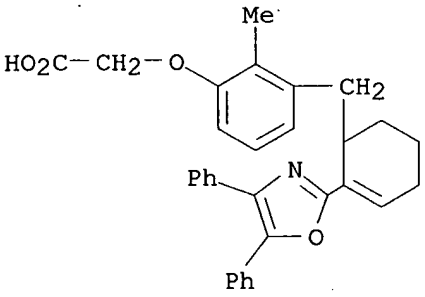
● Na

RN 187993-11-9 HCAPLUS
CN Acetic acid, [5-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]-2-methylphenoxy]-, sodium salt (9CI) (CA INDEX NAME)



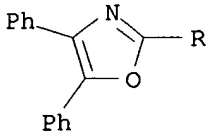
● Na

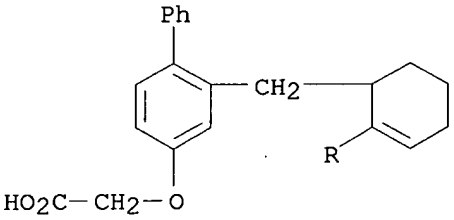
RN 187993-12-0 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]-2-methylphenoxy]-, sodium salt (9CI) (CA INDEX NAME)



● Na

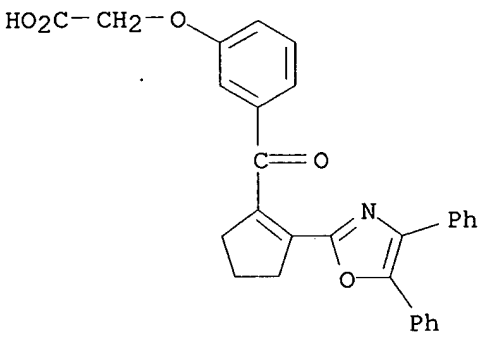
RN 187993-14-2 HCAPLUS
CN Acetic acid, [[2-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl][1,1'-biphenyl]-4-yl]oxy]-, sodium salt (9CI) (CA INDEX NAME)





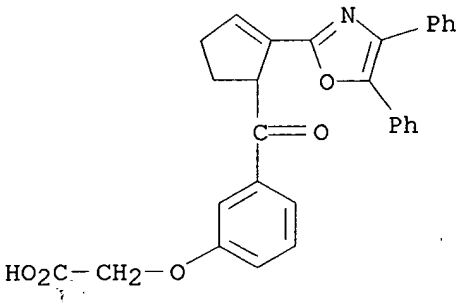
● Na

RN 187993-21-1 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-1-cyclopenten-1-yl]carbonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



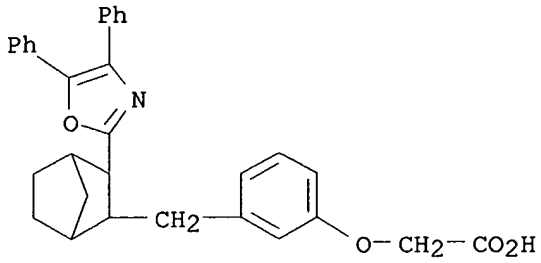
● Na

RN 187993-22-2 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclopenten-1-yl]carbonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



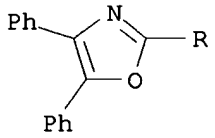
● Na

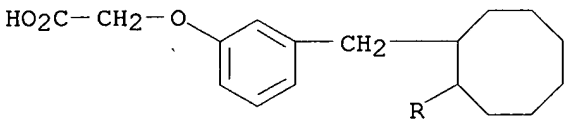
RN 187993-23-3 HCAPLUS
CN Acetic acid, [3-[[3-(4,5-diphenyl-2-oxazolyl)bicyclo[2.2.1]hept-2-yl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 187993-24-4 HCAPLUS
CN Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)cyclooctyl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)





● Na

L6 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:960190 HCAPLUS
DOCUMENT NUMBER: 124:8796
TITLE: Preparation of 4,5-diaryloxazole derivatives as PGI2 agonists
INVENTOR(S): Taniguchi, Kiyoshi; Nagano, Masanobu; Hattori, Kouji; Tsubaki, Kazunori; Okitsu, Osamu; Tabuchi, Seiichiro
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

* Structures not printed. Too many to print.

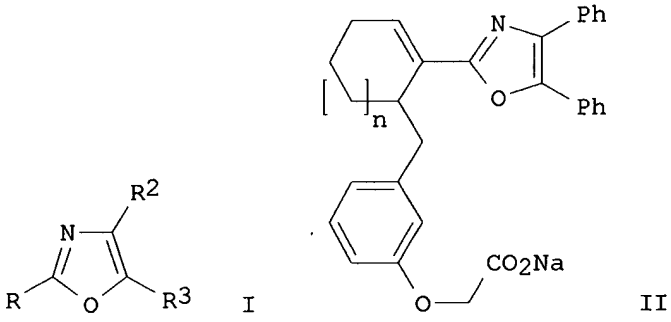
inventor's work

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517393	A1	19950629	WO 1994-JP2116	19941216
W: AU, CA, CN, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2179399	AA	19950629	CA 1994-2179399	19941216
AU 9512006	A1	19950710	AU 1995-12006	19941216
AU 686286	B2	19980205		
EP 736018	A1	19961009	EP 1995-902969	19941216
EP 736018	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1138328	A	19961218	CN 1994-194557	19941216
CN 1046714	B	19991124		
JP 09506894	T2	19970708	JP 1994-517312	19941216
HU 76341	A2	19970828	HU 1996-1685	19941216
AT 194335	E	20000715	AT 1995-902969	19941216
ES 2147836	T3	20001001	ES 1995-902969	19941216
RU 2176640	C2	20011210	RU 1996-115170	19941216
US 6025375	A	20000215	US 1998-92027	19980605
CN 1229795	A	19990929	CN 1998-116704	19980725
CN 1090184	B	20020904		

PRIORITY APPLN. INFO.: GB 1993-25962 A 19931220
GB 1994-22404 A 19941107
WO 1994-JP2116 W 19941216

OTHER SOURCE(S): MARPAT 124:8796

GI



AB Title compds. [I; R = R1A1OZA2Z1; A1 = alkylene; A2 = bond, alkylene; R1 = (protected)CO2H; R2,R3 = (un)substituted aryl; Z = phenylene; Z1 = phenylene, cycloalk(en)ylene(methylene)] were prepd. Thus, Et 5(R)-acetoxy-1-cyclopentenecarboxylate was alkylated by the Grignard reagent from 3-(MeO)C6H4CH2Cl and the sapond. product esterified by benzoin to give, after cyclization with NH4OAc and 3 addnl. steps, title compd. (S)-II (III; n = 0). III (N = 1) gave 31.3% decrease in blood pressure in rats at 3.2mg/kg orally.

IC ICM C07D263-32
ICS A61K031-42

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

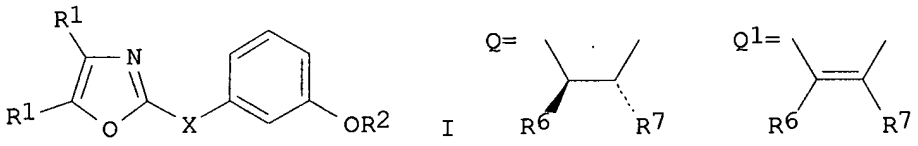
IT **171045-54-8P 171045-55-9P 171045-56-0P**
171045-57-1P 171045-58-2P 171045-59-3P
171045-60-6P 171045-61-7P 171045-62-8P
171045-63-9P 171045-64-0P 171045-65-1P

171045-66-2P 171045-67-3P 171045-68-4P
171045-69-5P 171045-70-8P 171045-71-9P
171045-72-0P 171045-73-1P 171045-74-2P
171045-75-3P 171045-76-4P 171045-77-5P
171045-78-6P 171045-79-7P 171045-80-0P
171045-81-1P 171045-82-2P 171045-83-3P
171045-84-4P 171045-85-5P 171045-86-6P
171045-87-7P 171045-88-8P 171045-89-9P
171045-90-2P 171045-91-3P 171045-92-4P
171045-93-5P **171045-94-6P 171045-95-7P**
171045-96-8P 171045-97-9P 171045-98-0P
171045-99-1P 171046-00-7P 171046-01-8P
171046-02-9P 171046-03-0P 171046-04-1P
171046-05-2P 171046-06-3P 171046-07-4P
171046-08-5P 171046-09-6P 171046-10-9P
171046-11-0P 171046-12-1P 171046-13-2P
171046-14-3P 171046-15-4P 171046-16-5P
171046-17-6P 171046-18-7P 171046-19-8P
171046-20-1P 171046-21-2P 171046-22-3P
171046-23-4P 171046-24-5P 171046-25-6P
171046-26-7P 171046-27-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,5-diaryloxazole derivs. as PGI2 agonists)

L6 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:330768 HCAPLUS
DOCUMENT NUMBER: 122:105867
TITLE: Preparation of (diphenyloxazolyl)oxazoles as platelet aggregation inhibitors
INVENTOR(S): Romine, Jeffrey L.; Meanwell, Nicholas A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5348969	A	19940920	US 1992-862902	19920403

PRIORITY APPLN. INFO.: US 1992-862902 19920403
OTHER SOURCE(S): MARPAT 122:105867
GI



AB Title compds I (X = C6H4, (substituted) heterocyclyl, Q, Q1 wherein R6 = H2N, HOCNH and R7 = H, HO; R1 = Ph, thienyl; R2 = H, R3CH2 wherein R3 = H, MeO, C1-5 alkyl, R4O2C wherein R4 = H, C1-5 alkyl) or pharmaceutically acceptable salt thereof, are prepd. To 4,5-diphenyl-2-oxazolylmethylisocyanide and 3-[(methoxycarbonyl)methoxy]benzaldehyde in THF was added NaH to give I (X = Q (R6 = HOCNH, R7 - HO), R1 = Ph, R2 = MeO2CCH2) (II). In in vitro inhibition of human platelet aggregation the IC50 of II was 0.02 .mu.g/mL.

IC ICM A61K031-42

NCL 514376000

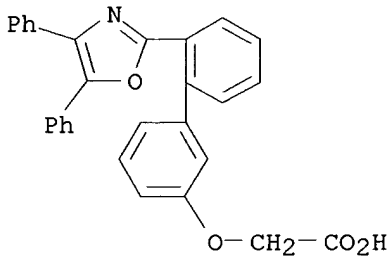
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 152575-70-7P 152575-71-8P 152575-72-9P 152575-73-0P
152575-74-1P 152575-85-4P 152575-86-5P 152575-87-6P
152575-88-7P 152575-89-8P 152575-93-4P 152575-94-5P 152575-95-6P
152575-96-7P 152575-97-8P 152575-98-9P 152575-99-0P 152576-00-6P
152576-04-0P 152598-05-5P 160684-93-5P 160684-94-6P
160684-95-7P 160684-96-8P 160684-97-9P 160684-98-0P 160684-99-1P
160685-00-7P 160685-01-8P 160685-02-9P 160685-03-0P 160685-04-1P
160685-05-2P 160685-06-3P 160685-07-4P 160685-08-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (diphenyloxazolyl)oxazoles as platelet aggregation inhibitors)

IT **152575-74-1P 152576-04-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (diphenyloxazolyl)oxazoles as platelet aggregation inhibitors)

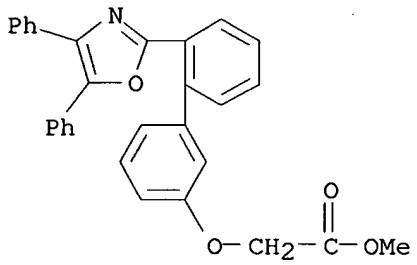
RN 152575-74-1 HCAPLUS

CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]- (9CI) (CA INDEX NAME)

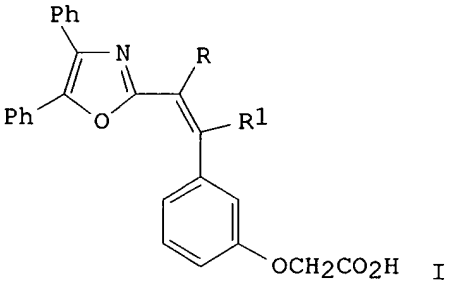


RN 152576-04-0 HCAPLUS

CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:191585 HCAPLUS
DOCUMENT NUMBER: 120:191585
TITLE: Nonprostanoid prostacyclin mimetics. 5. Structure-activity relationships associated with [3-[4-(4,5-diphenyl-2-oxazolyl)-5-oxazolyl]phenoxy]acetic acid
AUTHOR(S): Meanwell, Nicholas A.; Romine, Jeffrey L.; Rosenfeld, Michael J.; Martin, Scott W.; Trehan, Ashok K.; Wright, J. J. Kim; Malley, Mary F.; Gougoutas, Jack Z.; Brassard, Catherine L.; et al.
CORPORATE SOURCE: Div. Chem., Bristol-Myers Squibb Pharm. Res. Inst., Wallingford, CT, 06492-7660, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(24), 3884-903
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Cis-[3-[2-(4,5-diphenyl-2-oxazolyl)ethenyl]phenoxy]acetic acid (I, R, R1 = H) was previously identified as a nonprostanoid prostacyclin (PGI2) mimetic that potently inhibits ADP-induced aggregation of human platelets with an IC50 of 0.18 .mu.M. As part of an effort to further explore structure-activity relationships for this class of platelet inhibitor and to provide addnl. insight into the nonprostanoid PGI2 mimetic pharmacophore, the effects of constraining the cis-olefin moiety of I (R, R1 = H) into various ring systems was examd. Incorporation of the cis-olefin into I (RR1 = OCH:N, CH:NNH) provided compds. that are equipotent with I (R, R1 = H). However, I (RR1 = N:CHO) inhibits ADP-induced human platelet aggregation in vitro with an IC50 of 0.027

.mu.M, 6-fold more potent than I (R, R1 = H; RR1 = OCH:N, N:CHO). These results suggest that the central oxazole ring of I (RR1 = N:CHO) is functioning as more than a simple scaffold, providing optimal stereodefinition for interaction with the PGI2 receptor. The nitrogen atom of the central heterocycle of I (RR1 = N:CHO) is postulated to engage in hydrogen-bond formation with a donor moiety in the PGI2 receptor protein, an interaction not available to I (RR1 = OCH:N) due to the markedly different topol. In support of this contention, the crystal structures of I (RR1 = OCH:N, N:CHO) contain strong intermol. H bonds between the carboxylic acid H atom and the N atom of the central oxazole ring. Although I (RR1 = OCH:N, N:CHO) are exact isosteres and could, in principle, adopt the same mol. packing arrangement in the solid state, this is not the case, and the intermol. hydrogen-bonding interactions in I (RR1 = OCH:N, N:CHO) are accommodated by entirely different mol. packing arrangements. Incorporation of the olefin moiety of I (R, R1 = H) into a benzene ring provided I (RR1 = CH:CHCH:CH), >60-fold weaker with an IC50 of 11.1 .mu.M. The affinities of I (RR1 = N:CHO, OCH:N, CH:NNMe, CH:CHCH:CH) for the human platelet PGI2 receptor, detd. by displacement of [3H]iloprost, correlated with inhibition of platelet function. The solid-state structures of these compds. were detd. and revealed that the more potent compds. I (RR1 = N:CHO, OCH:N) adopt a relatively planar overall topog. In contrast, the central Ph ring and the phenoxy ring of the weakly active compd. I (RR1 = CH:CHCH:CH) are distorted by 53.degree. from planarity. The chem. shifts of the protons of the phenoxy rings of I suggest that in soln. I (R, R1 = H; RR1 = N:CHO, OCH:N, N:CMeO) adopt a planar conformation while I (RR1 = CH:CHCH:CH) does not. Taken together, these data suggest that the more potent nonprostanoid PGI2 mimetics are those in which elements of the side chain are able to adopt a relatively planar topog. arrangement.

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 2

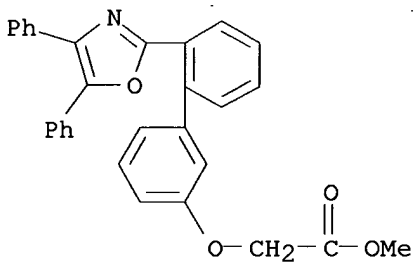
IT 26820-92-8P 152575-81-0P 152575-82-1P 152575-83-2P 152575-84-3P
152575-85-4P 152575-86-5P 152575-87-6P 152575-88-7P 152575-89-8P
152575-90-1P 152575-91-2P 152575-92-3P 152575-93-4P 152575-94-5P
152575-95-6P 152575-96-7P 152575-97-8P 152575-98-9P 152575-99-0P
152576-00-6P 152576-01-7P 152576-02-8P 152576-03-9P
152576-04-0P 152576-05-1P 152576-06-2P 152576-07-3P
152576-08-4P 152576-09-5P 152576-10-8P 152576-11-9P 152576-12-0P
152576-13-1P 152576-14-2P 152576-15-3P 152576-16-4P 152576-17-5P
152576-18-6P 152576-19-7P 152598-06-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in prepn. of diphenyloxazolyloxazolylphenoxyacetate prostacyclin mimetic)

IT 152575-63-8P 152575-64-9P 152575-65-0P 152575-66-1P 152575-67-2P
152575-68-3P 152575-69-4P 152575-70-7P 152575-71-8P 152575-72-9P
152575-73-0P **152575-74-1P** 152575-75-2P 152575-76-3P
152575-77-4P 152575-78-5P 152575-79-6P 152575-80-9P 152576-21-1P
152598-04-4P 152598-05-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as prostacyclin mimetic)

IT **152576-04-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in prepn. of diphenyloxazolyloxazolylphenoxyacetate prostacyclin mimetic)

RN 152576-04-0 HCAPLUS

CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



IT **152575-74-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as prostacyclin mimetic)
RN 152575-74-1 HCAPLUS
CN Acetic acid, [[2'-(4,5-diphenyl-2-oxazolyl)[1,1'-biphenyl]-3-yl]oxy]-
(9CI) (CA INDEX NAME)